

Isocyanide Chemistry (IMCR): Promising Technology For Future Drug Discovery And Development

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Facts & Figures

Start date: 01/01/2013

End date: 31/05/2018

Contributions

IMI funding: 79 999 157 €

EFPIA in kind: 91 657 070 €

Other: 24 922 388 €

Total Cost: 196 578 615 €

Project website: www.europeanleadfactory.eu

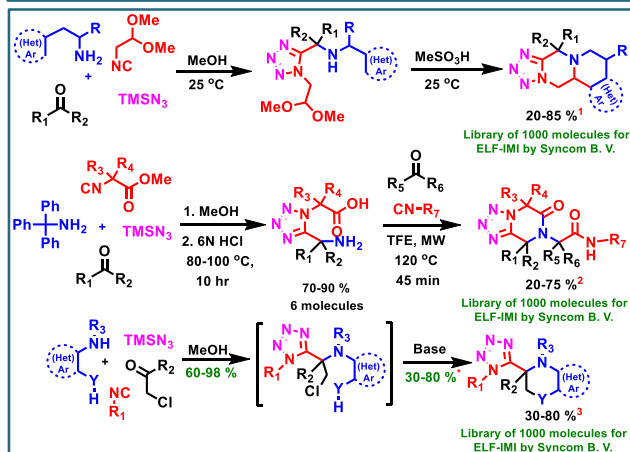
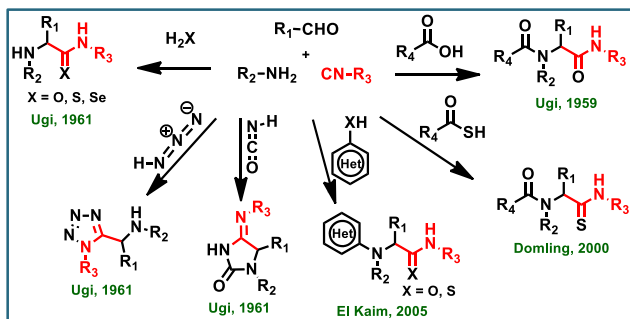
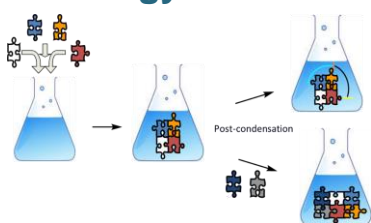
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Challenge: To find Drug-like molecules for clinical trials and method development of existing drugs in the market using IMCR chemistry.

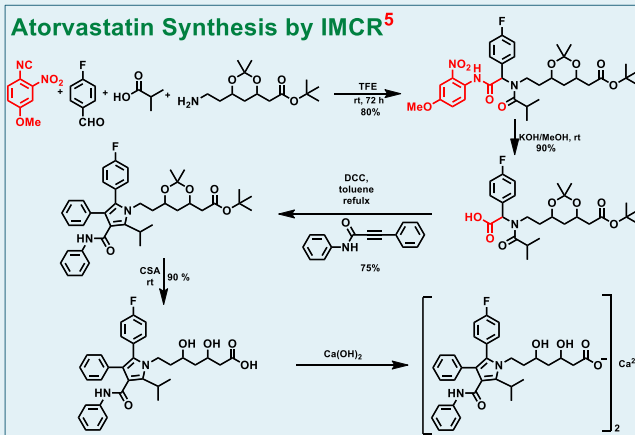
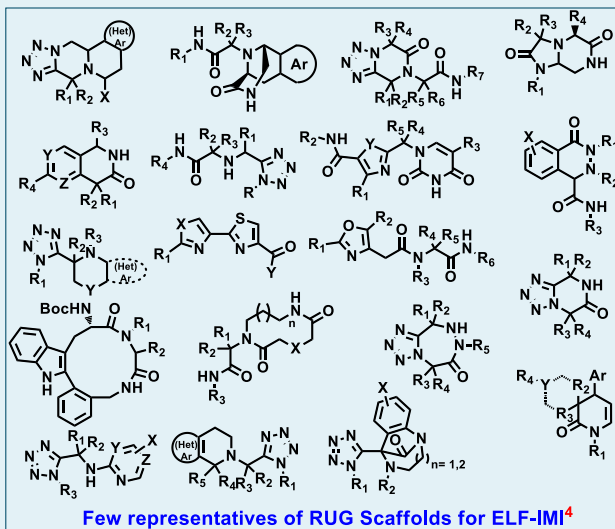
Approach & Methodology



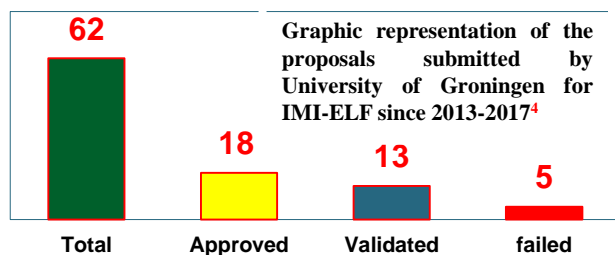
► Isocyanides (RNC) are stable organic compounds
► divalent carbon atom, which reacts with both electrophiles and nucleophiles to give the α -addition products



Results



Value of IMI collaboration



Impact & take home message:

- ✓ IMCR chemistry can afford elaborate and novel scaffolds with high diversity
- ✓ Scaffolds obtained from IMCR chemistry have more than three points of diversity
- ✓ innovative libraries with drug-like properties
- ✓ More than 5% of the currently marketed drugs and their intermediates can be synthesized by IMCR in just a few steps, potentially lowering the production costs of medicines

Ref.: 1) a) A Universal isocyanide for diverse heterocyclic syntheses, Pravin Patil, Kareem Khoury, Eberhardt Herdtweck, Alexander Dömling, *Org. Lett.* **2014**, *16*, 5736-5739; b) MCR synthesis of a tetracyclic tetrazole scaffold; Pravin Patil, Kareem Khoury, Eberhardt Herdtweck, Alexander Dömling, *Bio. Med. Chem.*, **2015**, *23*, 2699-2715. 2) Concise synthesis of tetrazole-ketopiperazines by two consecutive Ugi reactions, Tryfon Zarganes-Tzitzikas, Pravin Patil, Kareem Khoury, Eberhardt Herdtweck, Alexander Dömling, *E. J. Org. Chem.* **2015**, 51-55. 3) De novo assembly of highly substituted morpholines and piperazines, Pravin Patil, Rudrakshula Madhavachary, Katarzyna Kurpiewska, Justyna Kalinowska-Thuściak, Alexander Dömling, *Org. Lett.*, **2017**, *19*, 642-645. 4) https://www.imi.europa.eu/projects-results/project-factsheets/elf_5 5) Dömling, A. S. S. Methods for providing intermediates in the synthesis of atorvastatin. Patent No. WO2016122325 (2016).